

10552455.trn

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LOGINID:SSSPTA1626GMS

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

* * * * * Welcome to STN International * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JAN 08 CHEMLIST enhanced with New Zealand Inventory of Chemicals
NEWS 3 JAN 16 CA/CAPLUS Company Name Thesaurus enhanced and reloaded
NEWS 4 JAN 16 IPC version 2007.01 thesaurus available on STN
NEWS 5 JAN 16 WPIDS/WPINDEX/WPIX enhanced with IPC 8 reclassification data
NEWS 6 JAN 22 CA/CAPLUS updated with revised CAS roles
NEWS 7 JAN 22 CA/CAPLUS enhanced with patent applications from India
NEWS 8 JAN 29 PHAR reloaded with new search and display fields
NEWS 9 JAN 29 CAS Registry Number crossover limit increased to 300,000 in
multiple databases
NEWS 10 FEB 15 PATDPASPC enhanced with Drug Approval numbers
NEWS 11 FEB 15 RUSSIAPAT enhanced with pre-1994 records
NEWS 12 FEB 23 KOREAPAT enhanced with IPC 8 features and functionality
NEWS 13 FEB 26 MEDLINE reloaded with enhancements
NEWS 14 FEB 26 EMBASE enhanced with Clinical Trial Number field
NEWS 15 FEB 26 TOXCENTER enhanced with reloaded MEDLINE
NEWS 16 FEB 26 IFICDB/IFIPAT/IFIUDB reloaded with enhancements
NEWS 17 FEB 26 CAS Registry Number crossover limit increased from 10,000
to 300,000 in multiple databases
NEWS 18 MAR 15 WPIDS/WPIX enhanced with new FRAGHITSTR display format
NEWS 19 MAR 16 CASREACT coverage extended
NEWS 20 MAR 20 MARPAT now updated daily
NEWS 21 MAR 22 LWPI reloaded
NEWS 22 MAR 30 RDISCLOSURE reloaded with enhancements
NEWS 23 APR 02 JICST-EPLUS removed from database clusters and STN
NEWS 24 APR 30 GENBANK reloaded and enhanced with Genome Project ID field
NEWS 25 APR 30 CHEMCATS enhanced with 1.2 million new records
NEWS 26 APR 30 CA/CAPLUS enhanced with 1870-1889 U.S. patent records
NEWS 27 APR 30 INPADOC replaced by INPADOCDB on STN
NEWS 28 MAY 01 New CAS web site launched
NEWS 29 MAY 08 CA/CAPLUS Indian patent publication number format defined
NEWS 30 MAY 14 RDISCLOSURE on STN Easy enhanced with new search and display
fields
NEWS EXPRESS NOVEMBER 10 CURRENT WINDOWS VERSION IS V8.01c, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 25 SEPTEMBER 2006.
NEWS HOURS STN Operating Hours Plus Help Desk Availability
NEWS LOGIN Welcome Banner and News Items
NEWS IPC8 For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
specific topic.

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* * * * * STN Columbus * * * * *

FILE 'HOME' ENTERED AT 10:43:40 ON 18 MAY 2007

=>

Uploading

THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

0.21

0.21

FILE 'REGISTRY' ENTERED AT 10:44:19 ON 18 MAY 2007

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STRUCTURE FILE UPDATES: 17 MAY 2007 HIGHEST RN 935249-87-9

DICTIONARY FILE UPDATES: 17 MAY 2007 HIGHEST RN 935249-87-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

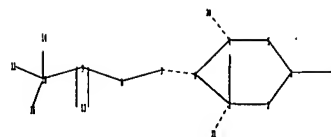
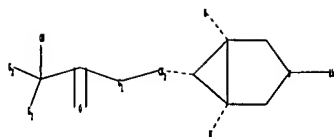
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10552455.str



chain nodes :

7 8 9 10 11 13 14 16 18 20 21

ring nodes :

1 2 3 4 5 6

chain bonds :

1-18 3-21 4-20 6-7 7-8 8-9 9-10 9-13 10-11 10-14 10-16

ring bonds :

1-2 1-5 2-3 3-4 3-6 4-5 4-6

exact/norm bonds :

1-2 1-5 1-18 2-3 3-4 3-6 3-21 4-5 4-6 4-20 6-7 7-8 8-9 9-13 10-11
10-14 10-16

exact bonds :

9-10

isolated ring systems :

containing 1 :

G1:O,N,NH

G2:Cb,Ak,CH3

Match level :

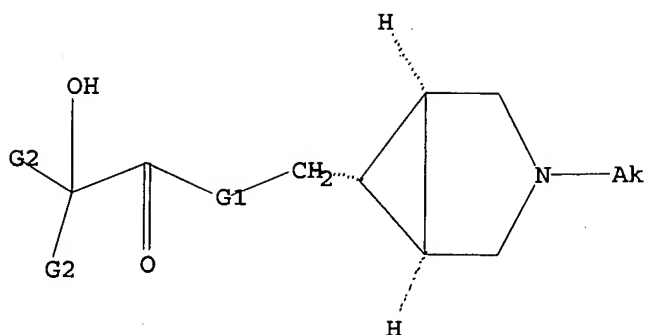
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 13:CLASS 14:CLASS 16:CLASS 18:CLASS 20:CLASS 21:CLASS

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 O,N,NH
G2 Cb,Ak,Me

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 10:44:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 19 TO ITERATE

100.0% PROCESSED 19 ITERATIONS 9 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 119 TO 641
PROJECTED ANSWERS: 9 TO 360

L2 9 SEA SSS SAM L1

=> s l1 sss full

FULL SEARCH INITIATED 10:44:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 380 TO ITERATE

100.0% PROCESSED 380 ITERATIONS
SEARCH TIME: 00.00.01

L3 216 SEA SSS FUL L1

=> FIL HCAPLUS

COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
172.10	172.31

216 ANSWERS

FILE 'HCAPLUS' ENTERED AT 10:44:53 ON 18 MAY 2007
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FILE COVERS 1907 - 18 May 2007 VOL 146 ISS 22

FILE LAST UPDATED: 15 May 2007 (20070515/ED)

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This file contains CAS Registry Numbers for easy and accurate

=> s l3

L4 6 L3

=> s l4 and py<=2004

25032780 PY<=2004

L5 2 L4 AND PY<=2004

=> FIL REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

10.40

182.71

FILE 'REGISTRY' ENTERED AT 10:47:18 ON 18 MAY 2007

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STRUCTURE FILE UPDATES: 17 MAY 2007 HIGHEST RN 935249-87-9

DICTIONARY FILE UPDATES: 17 MAY 2007 HIGHEST RN 935249-87-9

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH December 2, 2006

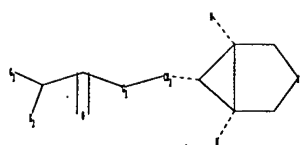
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10552455a.str



chain nodes :
 7 8 9 10 11 13 15 18 19
 ring nodes :
 1 2 3 4 5 6
 chain bonds :
 3-19 4-18 6-7 7-8 8-9 9-10 9-13 10-11 10-15
 ring bonds :
 1-2 1-5 2-3 3-4 3-6 4-5 4-6
 exact/norm bonds :
 1-2 1-5 2-3 3-4 3-6 3-19 4-5 4-6 4-18 6-7 7-8 8-9 9-13 10-11 10-15
 exact bonds :
 9-10
 isolated ring systems :
 containing 1 :

G1:O,N,NH

G2:Cb,Ak,CH3

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
 11:CLASS 13:CLASS 15:CLASS 18:CLASS 19:CLASS

L6 STRUCTURE UPLOADED

=> s 16

SAMPLE SEARCH INITIATED 10:47:45 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 59 TO ITERATE

100.0% PROCESSED 59 ITERATIONS
 SEARCH TIME: 00.00.01

16 ANSWERS

10552455.trn

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 720 TO 1640
PROJECTED ANSWERS: 80 TO 560

L7 16 SEA SSS SAM L6

=> s l6 sss full

FULL SEARCH INITIATED 10:47:52 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1244 TO ITERATE

100.0% PROCESSED 1244 ITERATIONS 323 ANSWERS
SEARCH TIME: 00.00.01

L8 323 SEA SSS FUL L6

=> FIL HCAPLUS

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	172.10	354.81

FILE 'HCAPLUS' ENTERED AT 10:47:59 ON 18 MAY 2007
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FILE COVERS 1907 - 18 May 2007 VOL 146 ISS 22
FILE LAST UPDATED: 15 May 2007 (20070515/ED)

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=> d his

(FILE 'HOME' ENTERED AT 10:43:40 ON 18 MAY 2007)

FILE 'REGISTRY' ENTERED AT 10:44:19 ON 18 MAY 2007

L1 STRUCTURE UPLOADED
L2 9 S L1
L3 216 S L1 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:44:53 ON 18 MAY 2007

L4 6 S L3
L5 2 S L4 AND PY<=2004

FILE 'REGISTRY' ENTERED AT 10:47:18 ON 18 MAY 2007

L6 STRUCTURE UPLOADED
L7 16 S L6

10552455.trn

L8 323 S L6 SSS FULL

FILE 'HCAPLUS' ENTERED AT 10:47:59 ON 18 MAY 2007

=> s 18

L9 9 L8

=> d 15 ibib abs hitstr tot

L5 ANSWER 1 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:182839 HCAPLUS

DOCUMENT NUMBER: 140:235609

TITLE: Fluoro- and sulfonylamino-containing 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita; Gupta, Jang Bahadur

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018422	A1	20040304	WO 2002-IB3433	20020823 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002326072	A1	20040311	AU 2002-326072	20020823 <--
EP 1534675	A1	20050601	EP 2002-760461	20020823
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1688544	A	20051026	CN 2002-829770	20020823
JP 2006501236	T	20060112	JP 2004-530408	20020823
US 2006004083	A1	20060105	US 2005-525439	20050801
PRIORITY APPLN. INFO.:			WO 2002-IB3433	A 20020823
OTHER SOURCE(S):			CASREACT 140:235609; MARPAT 140:235609	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. of formula I [wherein: Ar = (un)substituted (hetero)aryl; R1 = H, OH, CH2OH, NH2, alkoxy, carbamoyl, or halogen; R2 = C3-C7 cycloalkyl ring with 1-4 hydrogens substituted by fluorine atoms, or sulfonamide derivs.; R3 = C1-C15 (un)saturated (un)substituted hydrocarbon group; R4 and R5 are selected from H, Me, CO2H, C(O)NH2, NH2, CH2NH2; W = (CH2)0-1; X = O, S, N, bond; Y = CH(R')CO (R' = H or Me) or (CH2)0-4; Z = O, S, NR'' (R'' = H

or alkyl); Q = (CH₂)₁₋₄, CHR''' (R''' = H, OH, alkyl, alkenyl, alkoxy), or CH₂CHR'''' (R'''' = H, OH, alkyl, alkoxy)] useful as muscarinic receptor antagonists. Compds. I are useful for the treatment of various muscarinic receptor-mediated respiratory, urinary, and gastrointestinal system diseases; the affinity of test compds. for M₂ and M₃ muscarinic receptor subtypes was tested. For instance, compound II [example 2; pK_i = 6.9/8.4 for the M₂ and M₃ receptor subtypes resp.] was prepared via amidation of phenylacetic acid derivative III by azabicyclo[3.1.0]hexane derivative IV (no yield data).

IT 666835-75-2P 666835-76-3P

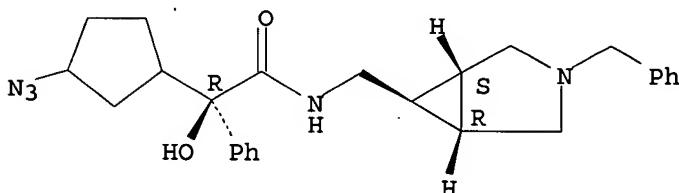
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of fluoro- and sulfonylamino-containing 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists)

RN 666835-75-2 HCAPLUS

CN Benzeneacetamide, α-(3-azidocyclopentyl)-α-hydroxy-N-[[[(1α,5α,6α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl]-, (αR)- (9CI) (CA INDEX NAME)

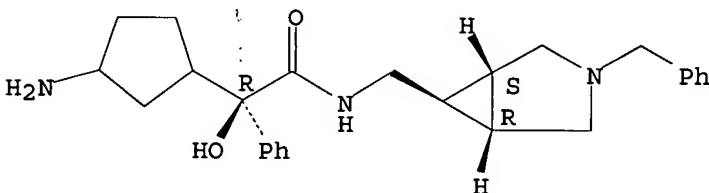
Absolute stereochemistry.



RN 666835-76-3 HCAPLUS

CN Benzeneacetamide, α-(3-aminocyclopentyl)-α-hydroxy-N-[[[(1α,5α,6α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl]-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT 666835-57-0P 666835-60-5P 666835-65-0P

666835-72-9P 666835-77-4P 666835-78-5P

666835-79-6P 666835-80-9P 666835-81-0P

667427-00-1P 667427-01-2P

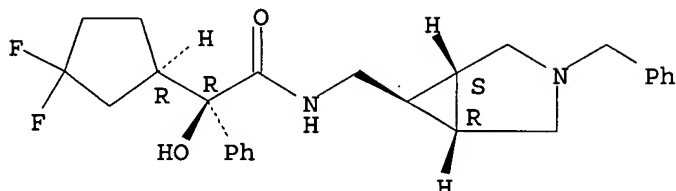
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fluoro- and sulfonylamino-containing 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists)

RN 666835-57-0 HCAPLUS

CN Benzeneacetamide, α-[(1R)-3,3-difluorocyclopentyl]-α-hydroxy-N-[[[(1α,5α,6α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl]-, (αR)- (9CI) (CA INDEX NAME)

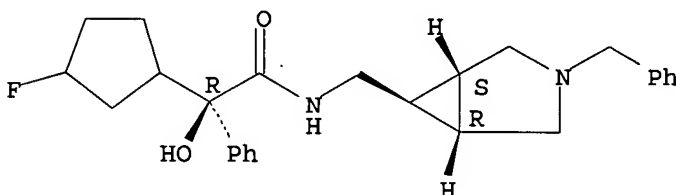
Absolute stereochemistry.



RN 666835-60-5 HCAPLUS

CN Benzeneacetamide, α-(3-fluorocyclopentyl)-α-hydroxy-N-[[1α,5α,6α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (αR)-(9CI) (CA INDEX NAME)

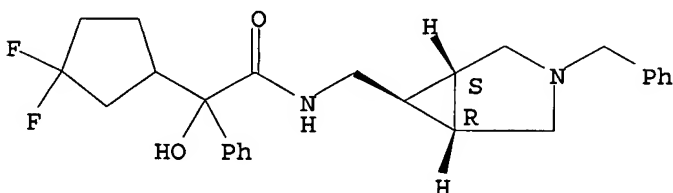
Absolute stereochemistry.



RN 666835-65-0 HCAPLUS

CN Benzeneacetamide, α-(3,3-difluorocyclopentyl)-α-hydroxy-N-[[1α,5α,6α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

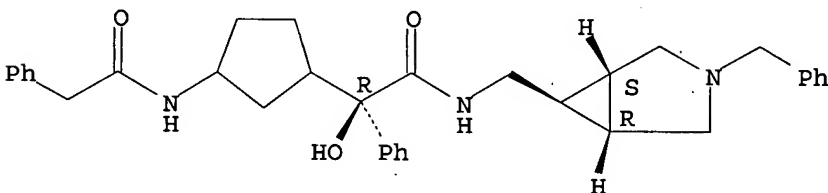
Relative stereochemistry.



RN 666835-72-9 HCAPLUS

CN Benzeneacetamide, α-hydroxy-α-[(1α,5α,6α)-3-[(phenylacetyl)amino]cyclopentyl]-N-[[3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (αR)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

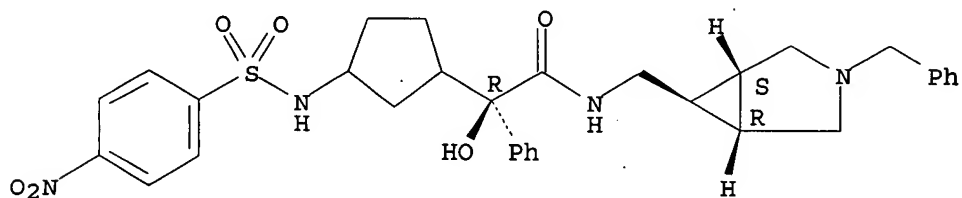


10552455.trn

RN 666835-77-4 HCAPLUS

CN Benzeneacetamide, α -hydroxy- α -[3-[[[4-nitrophenyl)sulfonyl]amino]cyclopentyl]-N-[[[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (α R)- (9CI)
(CA INDEX NAME)

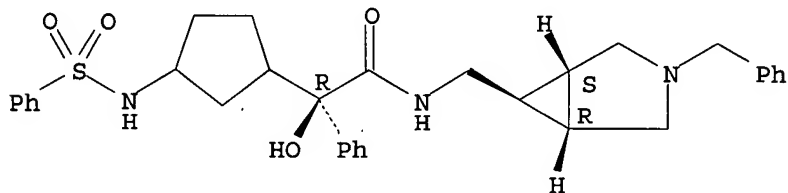
Absolute stereochemistry.



RN 666835-78-5 HCAPLUS

CN Benzeneacetamide, α -hydroxy-N-[[[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- α -[3-[(phenylsulfonyl)amino]cyclopentyl]-, (α R)- (9CI) (CA INDEX NAME)

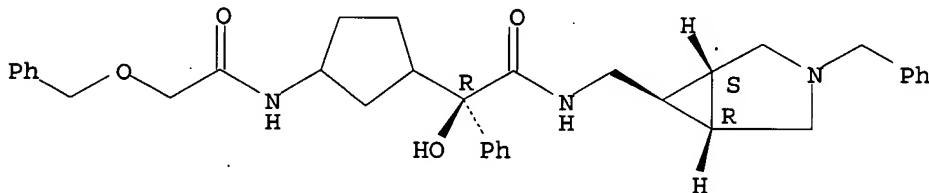
Absolute stereochemistry.



RN 666835-79-6 HCAPLUS

CN Benzeneacetamide, α -hydroxy- α -[3-[[[phenylmethoxy)acetyl]amino]cyclopentyl]-N-[[[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (α R)- (9CI) (CA INDEX NAME)

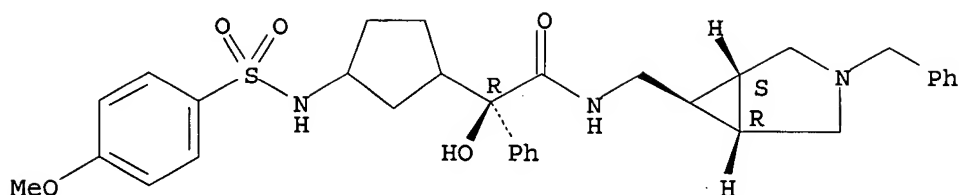
Absolute stereochemistry.



RN 666835-80-9 HCAPLUS

CN Benzeneacetamide, α -hydroxy- α -[3-[[[4-methoxyphenyl)sulfonyl]amino]cyclopentyl]-N-[[[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (α R)- (9CI)
(CA INDEX NAME)

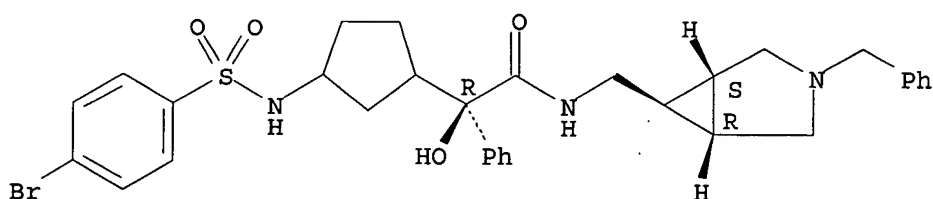
Absolute stereochemistry.



RN 666835-81-0 HCAPLUS

CN Benzeneacetamide, α-[3-[[[4-bromophenyl]sulfonyl]amino]cyclopentyl]-α-hydroxy-N-[[1α,5α,6α]-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (αR)-(9CI) (CA INDEX NAME)

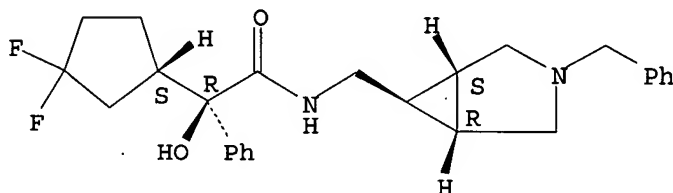
Absolute stereochemistry.



RN 667427-00-1 HCAPLUS

CN Benzeneacetamide, α-[(1S)-3,3-difluorocyclopentyl]-α-hydroxy-N-[[1α,5α,6α]-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (αR)-(9CI) (CA INDEX NAME)

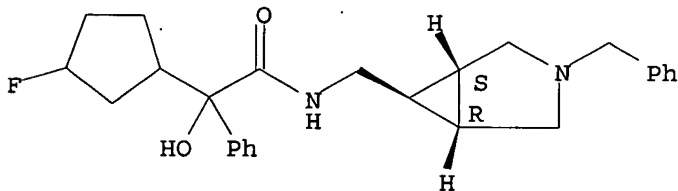
Absolute stereochemistry.



RN 667427-01-2 HCAPLUS

CN Benzeneacetamide, α-(3-fluorocyclopentyl)-α-hydroxy-N-[[1α,5α,6α]-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Relative stereochemistry.



REFERENCE COUNT:

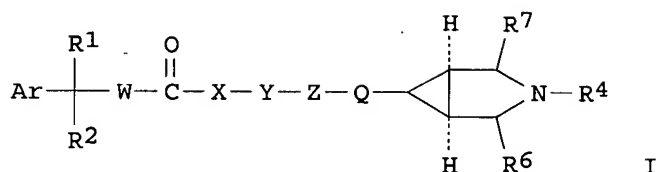
6

THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 2 OF 2 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2004:41201 HCAPLUS
 DOCUMENT NUMBER: 140:111279
 TITLE: Preparation of 3,6-disubstituted
 azabicyclo[3.1.0]hexane derivatives useful as
 muscarinic receptor antagonists
 INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt V.; Gupta, Jang
 Bahadur
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 72 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004629	A2	20040115	WO 2002-IB2663	20020708 <--
WO 2004004629	A3	20040521		
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			WO 2003-IB301367	A 20030411
			WO 2004-IB8	W 20040106
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OTHER SOURCE(S):		MARPAT 140:111279		
GI				



AB This invention generally relates to the derivs. of novel 3,6 disubstituted azabicyclo[3.1.0] hexanes. The title compds. [I; Ar = each (un)substituted aryl or heteroaryl having 1-2 hetero atoms selected from the group consisting of O, S and N atoms; R1 = H, HO, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. F, Cl, Br, iodo); R2 = alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl, each (un)substituted aryl or heteroaryl having 1 to 2 hetero atoms selected from a group consisting of O, S and N atoms; W = (CH2)p (where p = 0, 1); X = O, S, N, no atom; Y = CHR5CO (wherein R5 = H, Me) or (CH2)q (wherein q = 0-4); Z = O, S, NR10 (wherein R10 = H, C1-6 alkyl); Q = (CH2)n (wherein n = 0-4), or CHR5 (wherein R5 = H, OH, C1-6 alkyl, alkenyl alkoxy) or CH2CHR9 (wherein R9 = H, OH, C1-4 alkyl, C1-C4 alkoxy); R6, R7 = CO2H, H, Me, CONH2, NH2, CH2NH2; R4 = (un)substituted C1-15 saturated or unsatd. aliphatic hydrocarbon groups], pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites thereof are prepared These compds., e.g. (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2,2-diphenylacetamide, (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclohexyl-2-phenylacetamide, (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclopentyl-2-phenylacetamide, (1 α ,5 α ,6 α)-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl] 2-hydroxy-2,2-diphenylacetate, and are muscarinic receptor antagonists which are useful, inter-alia for the treatment or prophylaxis of various diseases or disorders of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. In particular, the diseases or disorders are urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity, and diabetes or gastrointestinal hyperkinesia.

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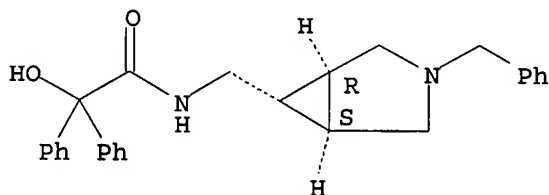
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of disubstituted azabicyclo[3.1.0]hexane derivs. as muscarinic receptor antagonists for treatment or prophylaxis of muscarinic receptor-mediated diseases or disorders)

RN 646035-38-3 HCAPLUS

CN Benzeneacetamide, α -hydroxy- α -phenyl-N-
[[$(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

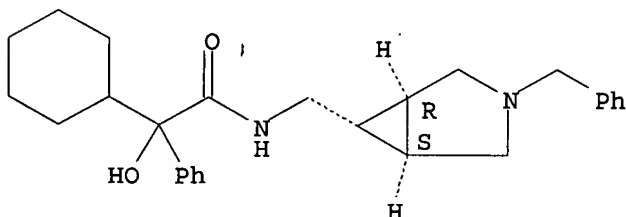
Absolute stereochemistry.



RN 646035-39-4 HCAPLUS

CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-
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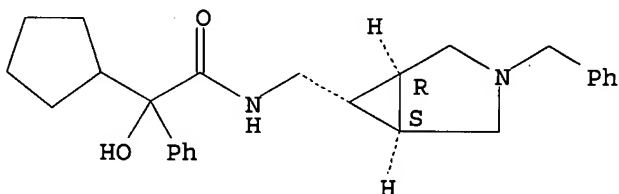
Absolute stereochemistry.



RN 646035-40-7 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
[[$(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



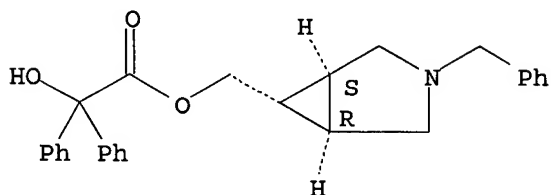
RN 646035-41-8 HCAPLUS

CN Benzeneacetic acid, α -hydroxy- α -phenyl-,
[[$(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-

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yl)methyl ester (9CI) (CA INDEX NAME)

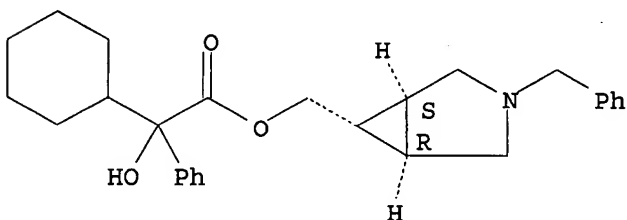
Absolute stereochemistry.



RN 646035-42-9 HCAPLUS

CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl ester (9CI) (CA INDEX NAME)

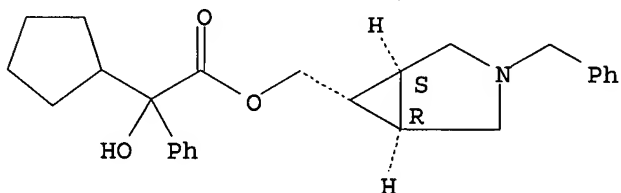
Absolute stereochemistry.



RN 646035-43-0 HCAPLUS

CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl ester (9CI) (CA INDEX NAME)

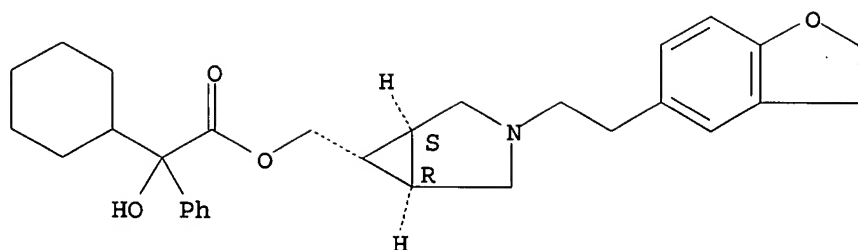
Absolute stereochemistry.



RN 646035-44-1 HCAPLUS

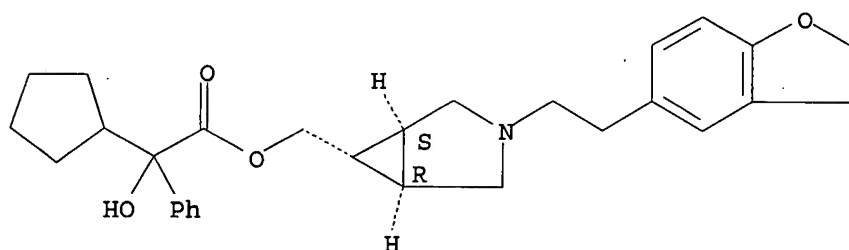
CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-[2-(2,3-dihydro-5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl)methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



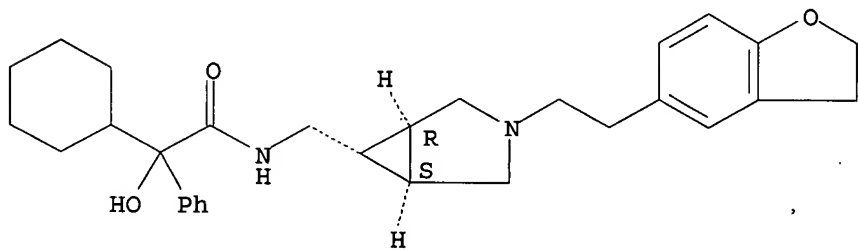
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 CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
 [(1 α ,5 α ,6 α)-3-[2-(2,3-dihydro-5-benzofuranyl)ethyl]-3-
 azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



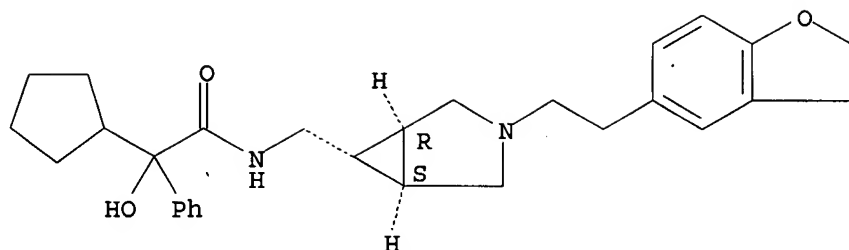
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 CN Benzeneacetamide, α -cyclohexyl-N-[(1 α ,5 α ,6 α)-3-[2-
 (2,3-dihydro-5-benzofuranyl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]methyl]-
 α -hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



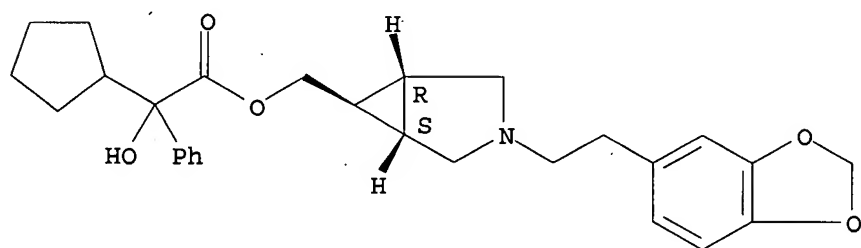
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 CN Benzeneacetamide, α -cyclopentyl-N-[(1 α ,5 α ,6 α)-3-
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Absolute stereochemistry.



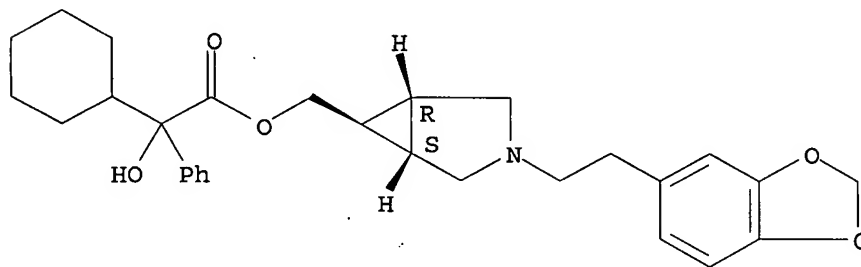
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 CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
 [(1 α ,5 α ,6 α)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-
 azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



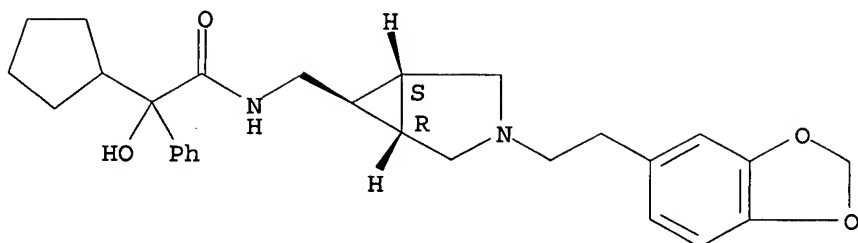
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 CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
 [(1 α ,5 α ,6 α)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-
 azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646035-50-9 HCAPLUS
 CN Benzeneacetamide, N-[(1 α ,5 α ,6 α)-3-[2-(1,3-benzodioxol-5-
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 hydroxy- (9CI) (CA INDEX NAME)

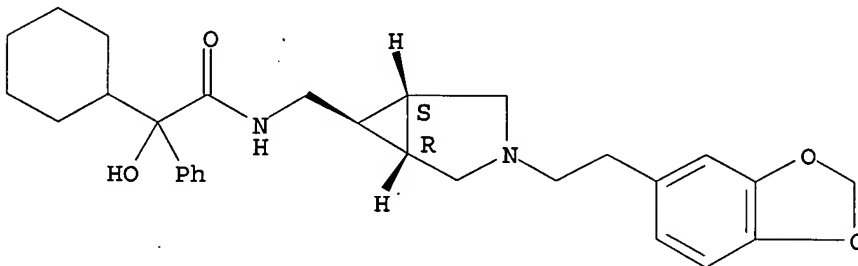
Absolute stereochemistry.



RN 646035-51-0 HCAPLUS

CN Benzeneacetamide, N-[[[(1 α ,5 α ,6 α)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl)methyl]- α -cyclohexyl- α -hydroxy- (9CI) (CA INDEX NAME)

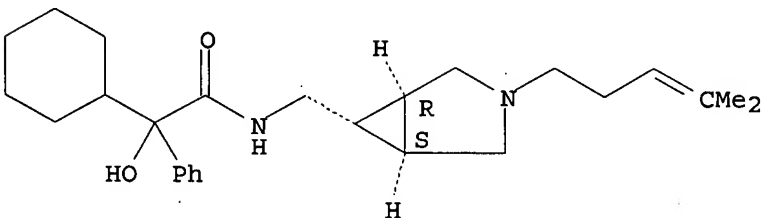
Absolute stereochemistry.



RN 646035-52-1 HCAPLUS

CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-[[[(1 α ,5 α ,6 α)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl]- (9CI) (CA INDEX NAME)

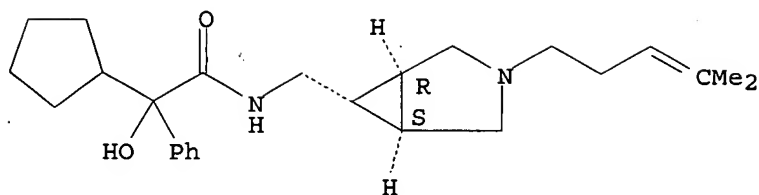
Absolute stereochemistry.



RN 646035-53-2 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-[[[(1 α ,5 α ,6 α)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl]- (9CI) (CA INDEX NAME)

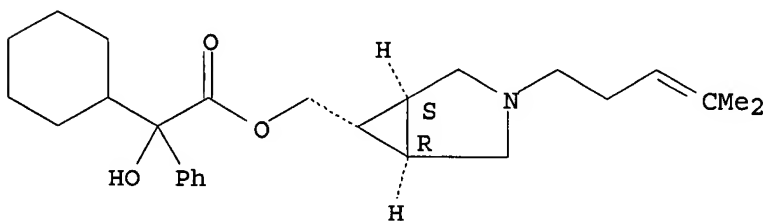
Absolute stereochemistry.



RN 646035-54-3 HCAPLUS

CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(4-methyl-3-pentenyl)-3-
azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

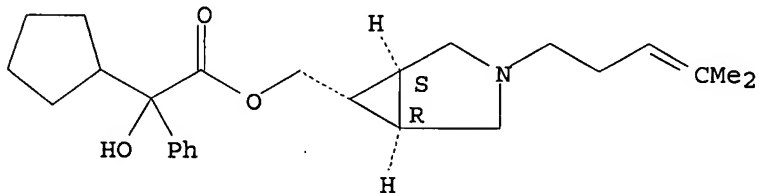
Absolute stereochemistry.



RN 646035-55-4 HCAPLUS

CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(4-methyl-3-pentenyl)-3-
azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

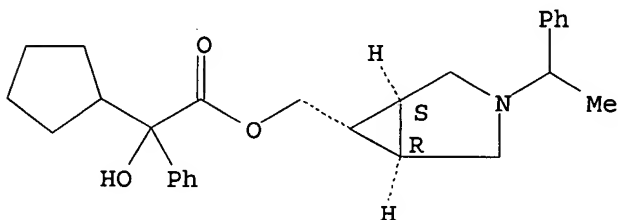
Absolute stereochemistry.



RN 646035-56-5 HCAPLUS

CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
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yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

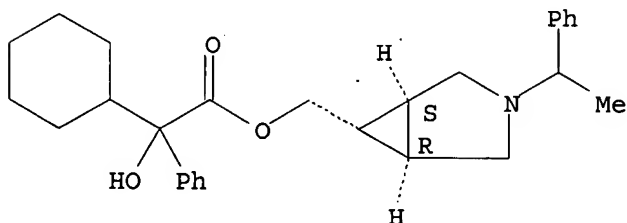


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RN 646035-57-6 HCAPLUS

CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

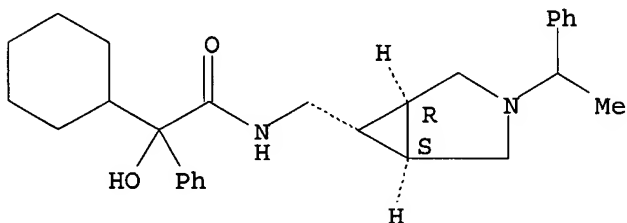
Absolute stereochemistry.



RN 646035-58-7 HCAPLUS

CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-
[[(1 α ,5 α ,6 α)-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

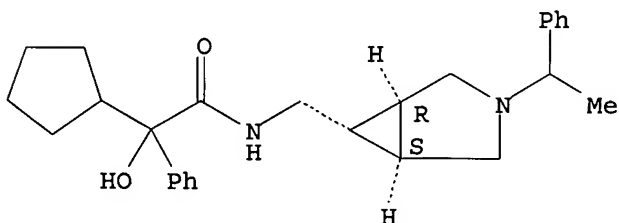
Absolute stereochemistry.



RN 646035-59-8 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
[[(1 α ,5 α ,6 α)-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

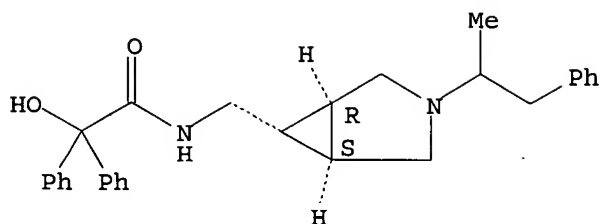
Absolute stereochemistry.



RN 646035-60-1 HCAPLUS

CN Benzeneacetamide, α -hydroxy-N-[[(1 α ,5 α ,6 α)-3-(1-methyl-2-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- α -phenyl- (9CI) (CA INDEX NAME)

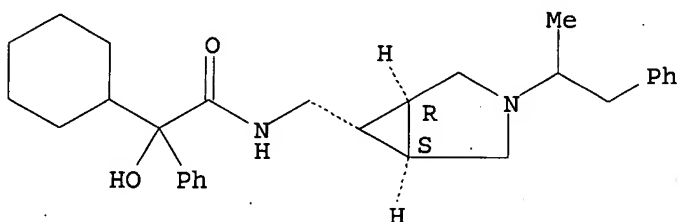
Absolute stereochemistry.



RN 646035-61-2 HCAPLUS

CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-
[[[(1 α ,5 α ,6 α)-3-(1-methyl-2-phenylethyl)-3-
azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

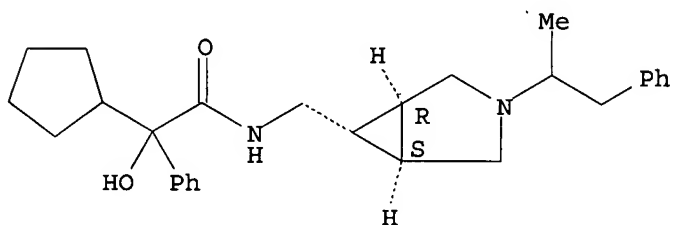
Absolute stereochemistry.



RN 646035-62-3 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
[[[(1 α ,5 α ,6 α)-3-(1-methyl-2-phenylethyl)-3-
azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

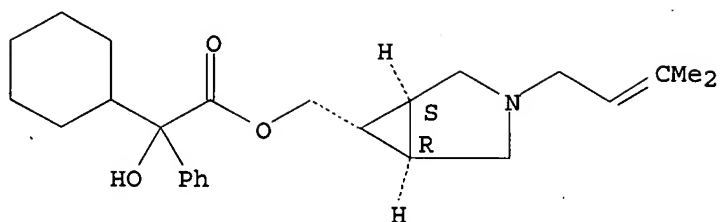
Absolute stereochemistry.



RN 646035-63-4 HCAPLUS

CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(3-methyl-2-butenyl)-3-
azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

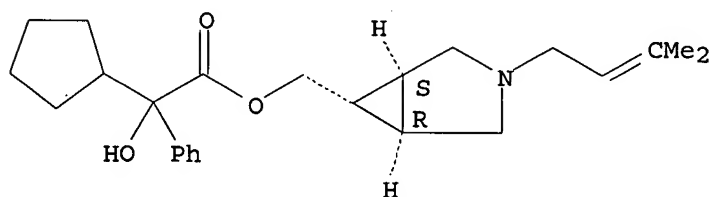
Absolute stereochemistry.



RN 646035-64-5 HCAPLUS

CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
 [(1 α ,5 α ,6 α)-3-(3-methyl-2-butenyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646035-65-6 HCAPLUS

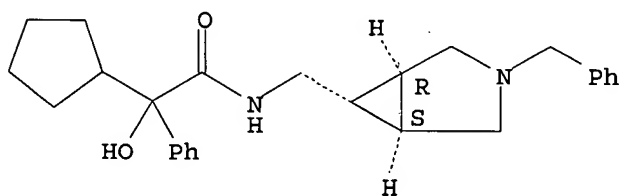
CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-[(1R,5S)-3-(
 phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (2R,3R)-2,3-
 dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-40-7

CMF C26 H32 N2 O2

Absolute stereochemistry.

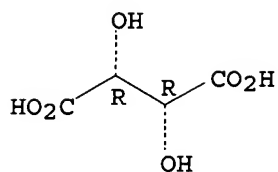


CM 2

CRN 87-69-4

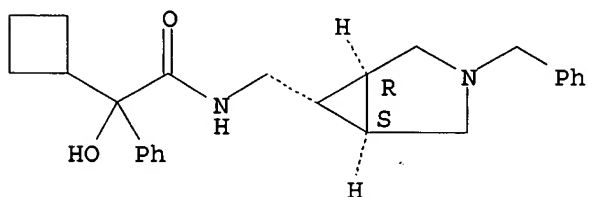
CMF C4 H6 O6

Absolute stereochemistry.



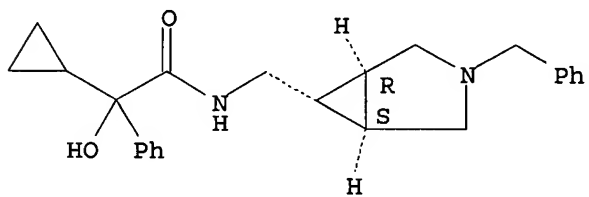
RN 646035-66-7 HCAPLUS
 CN Benzeneacetamide, α -cyclobutyl- α -hydroxy-N-
 [[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



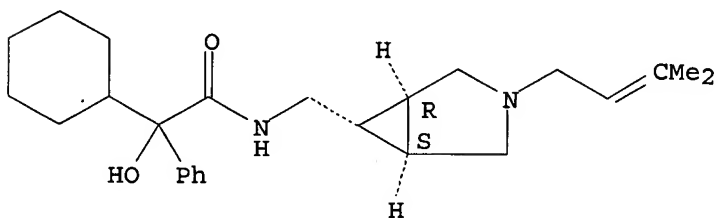
RN 646035-67-8 HCAPLUS
 CN Benzeneacetamide, α -cyclopropyl- α -hydroxy-N-
 [[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646035-68-9 HCAPLUS
 CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-
 [[(1 α ,5 α ,6 α)-3-(3-methyl-2-butenyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

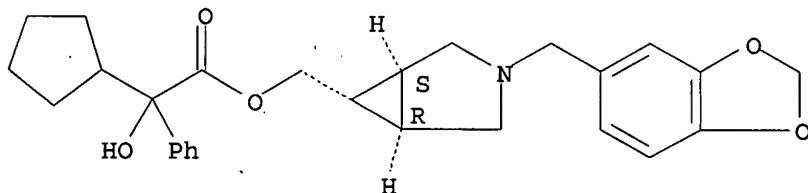


RN 646035-69-0 HCAPLUS
 CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,

10552455.trn

[(1 α ,5 α ,6 α)-3-(1,3-benzodioxol-5-ylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646035-70-3 HCAPLUS

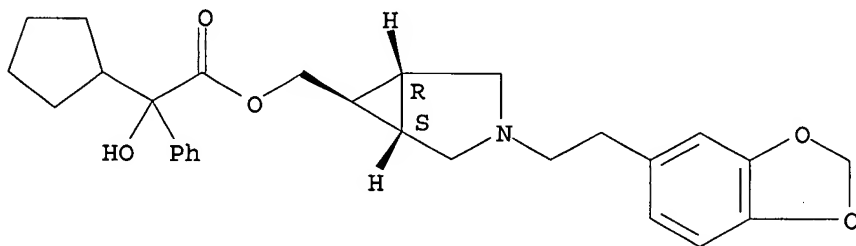
CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-, [(1R,5S)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl]methyl ester, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-48-5

CMF C28 H33 N O5

Absolute stereochemistry.

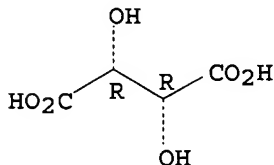


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 646035-71-4 HCAPLUS

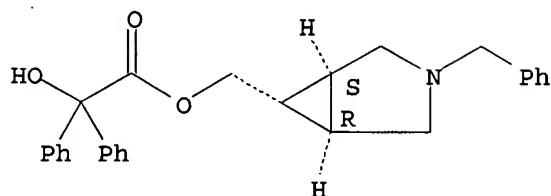
CN Benzeneacetic acid, α -hydroxy- α -phenyl-, [(1R,5S)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl ester, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

10552455.trn

CRN 646035-41-8
CMF C27 H27 N O3

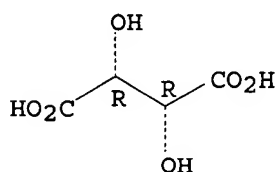
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

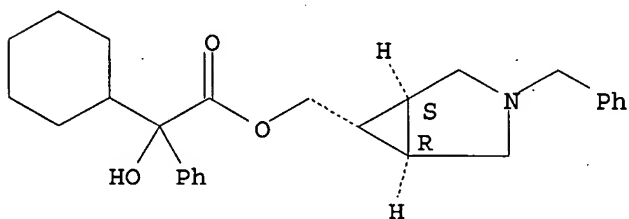


RN 646035-73-6 HCAPLUS
CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1R,5S)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl ester,
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-42-9
CMF C27 H33 N O3

Absolute stereochemistry.

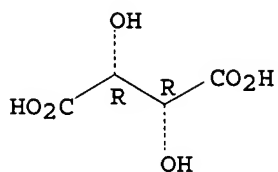


CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

10552455.trn



RN 646035-75-8 HCAPLUS

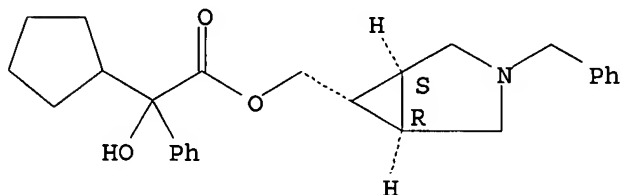
CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
[(1R,5S)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl ester,
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-43-0

CMF C26 H31 N O3

Absolute stereochemistry.

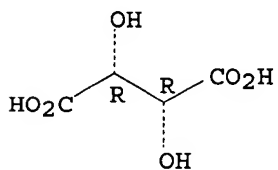


CM 2

CRN 87-69-4

CMF C4 H6 O6

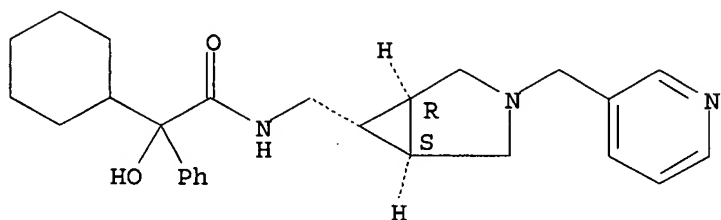
Absolute stereochemistry.



RN 646035-77-0 HCAPLUS

CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-
[[1 α ,5 α ,6 α)-3-(3-pyridinylmethyl)-3-
azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

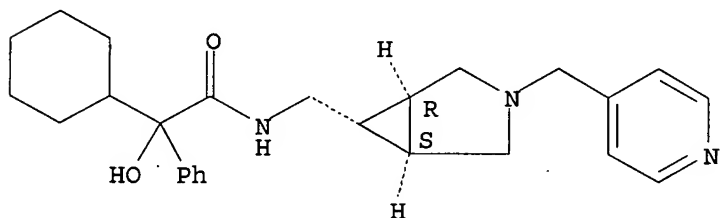
Absolute stereochemistry.



RN 646035-78-1 HCAPLUS

CN Benzeneacetamide, α-cyclohexyl-α-hydroxy-N-
 . [[[(1α,5α,6α)-3-(4-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

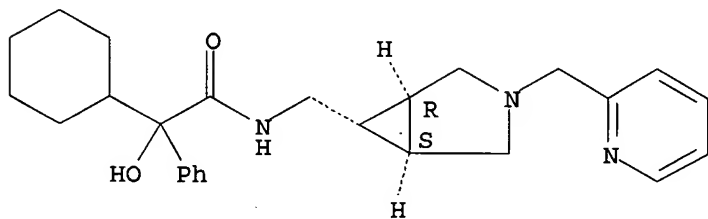
Absolute stereochemistry.



RN 646035-80-5 HCAPLUS

CN Benzeneacetamide, α-cyclohexyl-α-hydroxy-N-
 [[[(1α,5α,6α)-3-(2-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

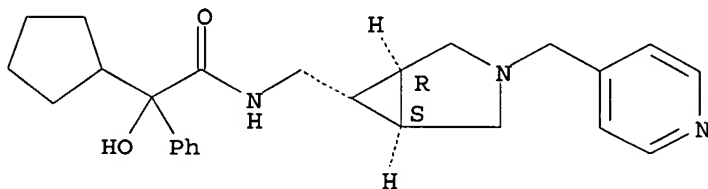
Absolute stereochemistry.



RN 646035-81-6 HCAPLUS

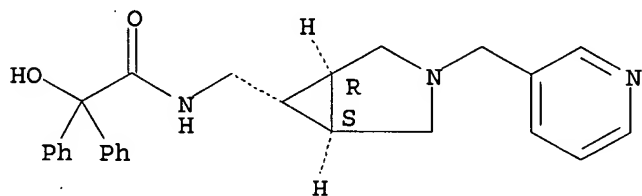
CN Benzeneacetamide, α-cyclopentyl-α-hydroxy-N-
 [[[(1α,5α,6α)-3-(4-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



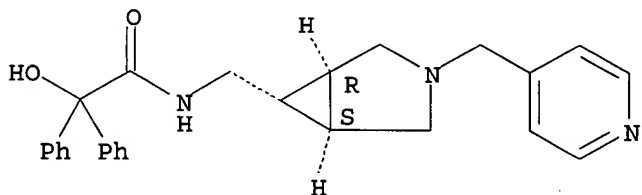
RN 646035-82-7 HCAPLUS
 CN Benzeneacetamide, α -hydroxy- α -phenyl-N-
 [[(1 α ,5 α ,6 α)-3-(3-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



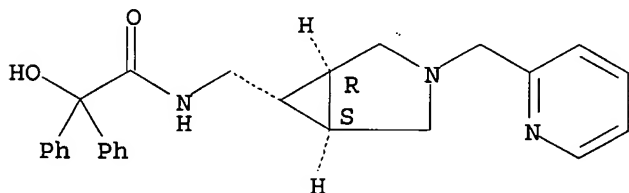
RN 646035-83-8 HCAPLUS
 CN Benzeneacetamide, α -hydroxy- α -phenyl-N-
 [[(1 α ,5 α ,6 α)-3-(4-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



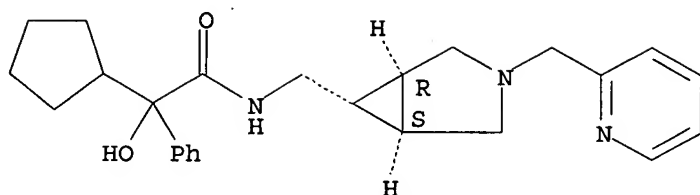
RN 646035-84-9 HCAPLUS
 CN Benzeneacetamide, α -hydroxy- α -phenyl-N-
 [[(1 α ,5 α ,6 α)-3-(2-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



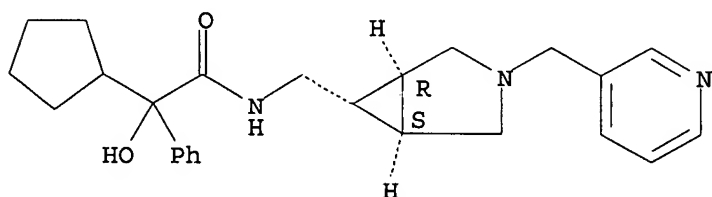
RN 646035-85-0 HCAPLUS
 CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
 [[(1 α ,5 α ,6 α)-3-(2-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



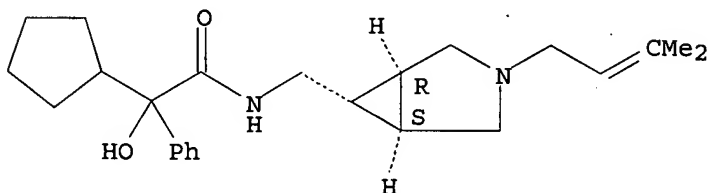
RN 646035-86-1 HCAPLUS
 CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
 [[[(1 α ,5 α ,6 α)-3-(3-pyridinylmethyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



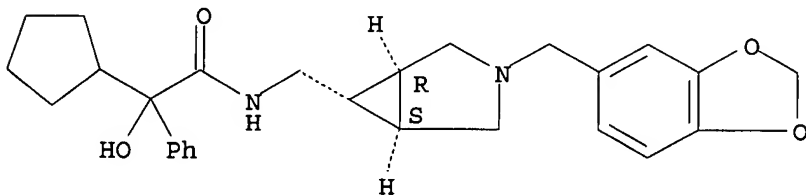
RN 646035-87-2 HCAPLUS
 CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
 [[[(1 α ,5 α ,6 α)-3-(3-methyl-2-butenyl)-3-
 azabicyclo[3.1.0]hex-6-yl]methyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646035-88-3 HCAPLUS
 CN Benzeneacetamide, N-[[[(1 α ,5 α ,6 α)-3-(1,3-benzodioxol-5-
 ylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]- α -cyclopentyl- α -
 hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

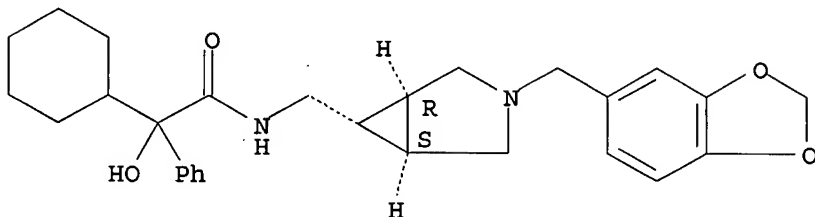


RN 646035-89-4 HCAPLUS
 CN Benzeneacetamide, N-[[[(1 α ,5 α ,6 α)-3-(1,3-benzodioxol-5-

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ylmethyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl]- α -cyclohexyl- α -hydroxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 646035-90-7 HCAPLUS

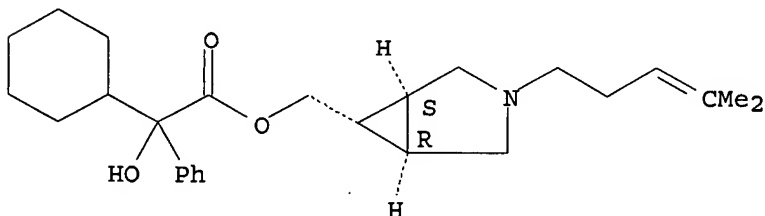
CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1R,5S)-3-(4-methyl-3-pentenyl)-3-azabicyclo[3.1.0]hex-6-yl)methyl ester,
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-54-3

CMF C26 H37 N O3

Absolute stereochemistry.

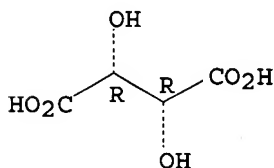


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.



RN 646035-91-8 HCAPLUS

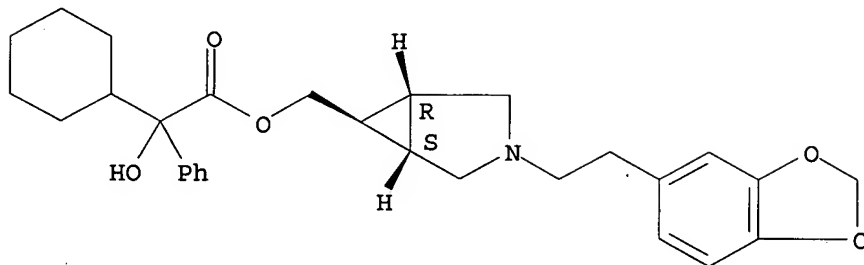
CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[(1R,5S)-3-[2-(1,3-benzodioxol-5-yl)ethyl]-3-azabicyclo[3.1.0]hex-6-yl)methyl ester, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

10552455.trn

CRN 646035-49-6
CMF C29 H35 N O5

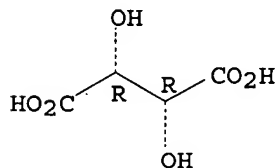
Absolute stereochemistry.



CM 2

CRN 87-69-4
CMF C4 H6 O6

Absolute stereochemistry.

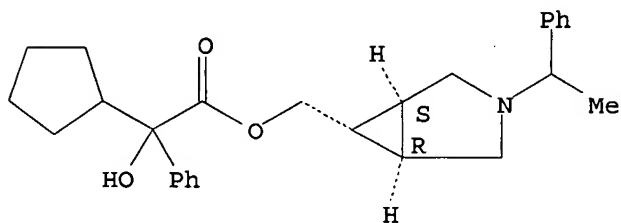


RN 646035-92-9 HCAPLUS
CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
[(1R,5S)-3-(1-phenylethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl ester,
(2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-56-5
CMF C27 H33 N O3

Absolute stereochemistry.

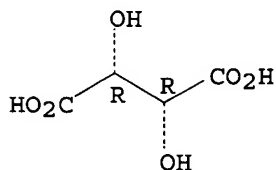


CM 2

CRN 87-69-4
CMF C4 H6 O6

10552455.trn

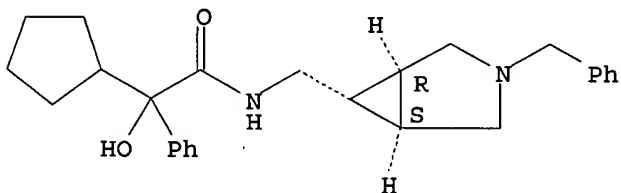
Absolute stereochemistry.



RN 646035-93-0 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
[[$(1\alpha, 5\alpha, 6\alpha)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-
yl]methyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



● HCl

RN 646035-94-1 HCAPLUS

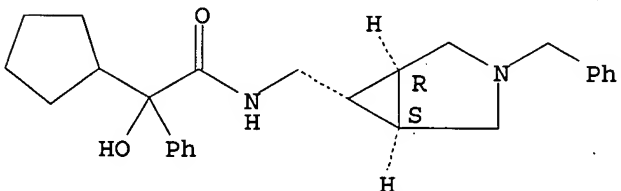
CN Butanedioic acid, hydroxy-, (2S)-, compd. with α -cyclopentyl- α -
hydroxy-N-[[$(1R, 5S)$ -3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-
yl]methyl]benzeneacetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-40-7

CMF C26 H32 N2 O2

Absolute stereochemistry.



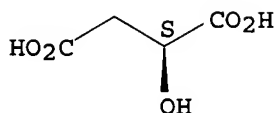
CM 2

CRN 97-67-6

CMF C4 H6 O5

Absolute stereochemistry. Rotation (-).

10552455.trn



RN 646035-95-2 HCAPLUS

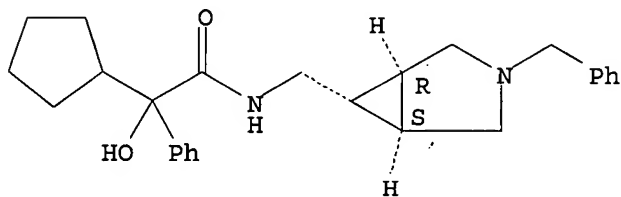
CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-[[[(1R,5S)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (2Z)-2-butenedioate (1:1) (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 646035-40-7

CMF C26 H32 N2 O2

Absolute stereochemistry.

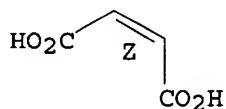


CM 2

CRN 110-16-7

CMF C4 H4 O4

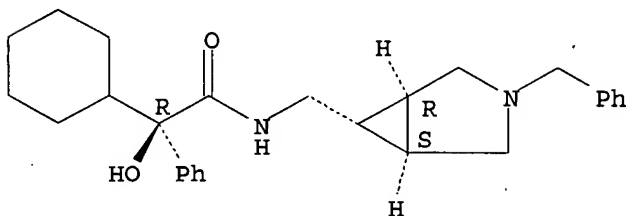
Double bond geometry as shown.



RN 646523-26-4 HCAPLUS

CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-[[[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (α R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



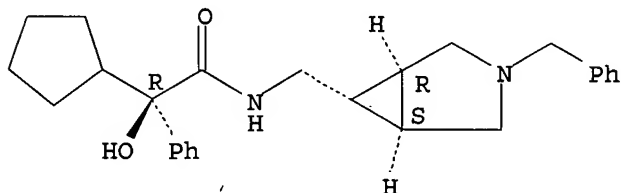
RN 646523-27-5 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-

10552455.trn

[[(1 α , 5 α , 6 α) -3- (phenylmethyl) -3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (α R) - (9CI) (CA INDEX NAME)

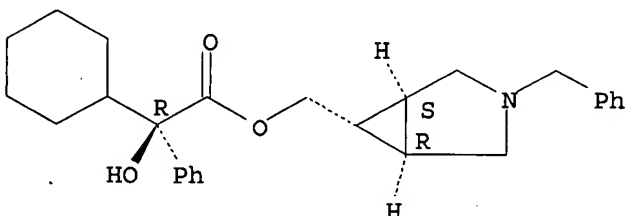
Absolute stereochemistry. Rotation (+).



RN 646523-28-6 HCAPLUS

CN Benzeneacetic acid, α -cyclohexyl- α -hydroxy-,
[[(1 α , 5 α , 6 α) -3- (phenylmethyl) -3-azabicyclo[3.1.0]hex-6-yl]methyl ester, (α R) - (9CI) (CA INDEX NAME)

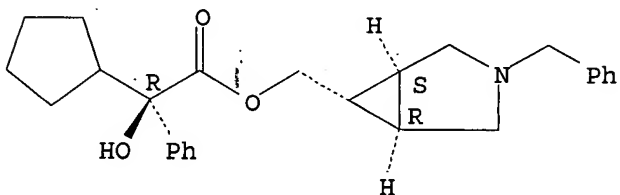
Absolute stereochemistry. Rotation (+).



RN 646523-29-7 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-,
[[(1 α , 5 α , 6 α) -3- (phenylmethyl) -3-azabicyclo[3.1.0]hex-6-yl]methyl ester, (α R) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

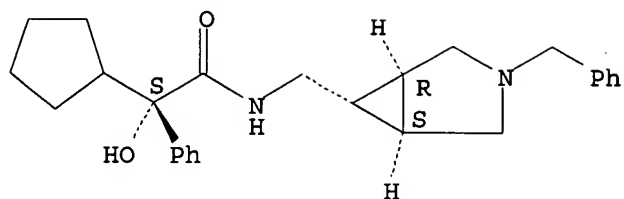


RN 646523-30-0 HCAPLUS

CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
[[(1 α , 5 α , 6 α) -3- (phenylmethyl) -3-azabicyclo[3.1.0]hex-6-yl]methyl]-, (α S) - (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

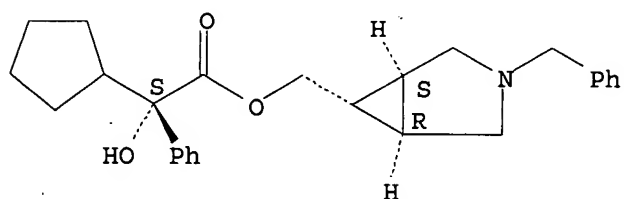
10552455.trn



RN 646523-31-1 HCAPLUS

CN Benzeneacetic acid, α -cyclopentyl- α -hydroxy-,
[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-
yl]methyl ester, (α S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 646523-32-2 HCAPLUS

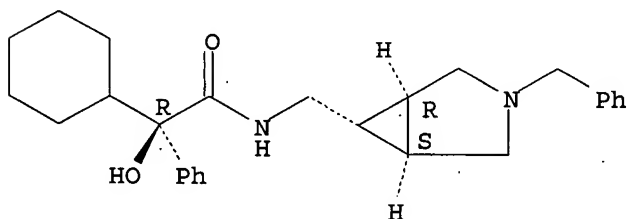
CN Benzeneacetamide, α -cyclohexyl- α -hydroxy-N-
[[[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-
yl]methyl]-, (α R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt)
(9CI) (CA INDEX NAME)

CM 1

CRN 646523-26-4

CMF C27 H34 N2 O2

Absolute stereochemistry. Rotation (+).

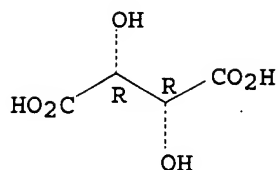


CM 2

CRN 87-69-4

CMF C4 H6 O6

Absolute stereochemistry.

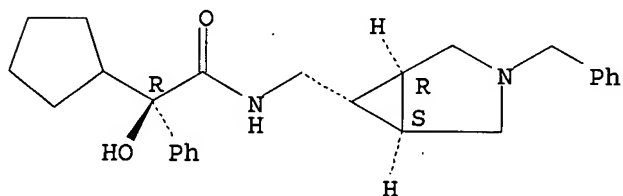


RN 646523-33-3 HCAPLUS
 CN Benzeneacetamide, α -cyclopentyl- α -hydroxy-N-
 [[(1 α ,5 α ,6 α)-3-(phenylmethyl)-3-azabicyclo[3.1.0]hex-6-
 yl)methyl]-, (α R)-, (2R,3R)-2,3-dihydroxybutanedioate (1:1) (salt)
 (9CI) (CA INDEX NAME)

CM 1

CRN 646523-27-5
 CMF C26 H32 N2 O2

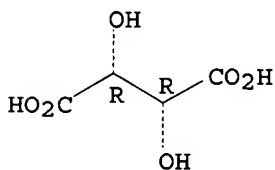
Absolute stereochemistry. Rotation (+).



CM 2

CRN 87-69-4
 CMF C4 H6 O6

Absolute stereochemistry.



=> d 14 ibib abs tot

L4 ANSWER 1 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2007:464247 HCAPLUS
 TITLE: Pharmaceutical compositions of muscarinic receptor
 antagonists
 INVENTOR(S): Ray, Abhijit; Dastidar, Sunanda G.; Shirumalla,
 Rajkumar; Malhotra, Shivani
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Ltd., India
 SOURCE: PCT Int. Appl., 100pp.
 CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007045979	A1	20070426	WO 2006-IB2930	20061019
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: IN 2005-DE2794 A 20051019

AB Pharmaceutical compns. are provided comprising one or more muscarinic receptor antagonists (MRA), and at least one addnl. active ingredients selected from one or more β 2-agonists, p38 MAP kinase inhibitors, PDE-IV inhibitors, corticosteroids, etc., or a mixture thereof and optionally one or more pharmaceutically acceptable carriers, excipients or diluents. In addition, methods of treating autoimmune, inflammatory or allergic diseases or disorders are provided. For example, a synergistic effect was observed with the combination of muscarinic antagonist (2R)-(1a,5a,6a)-N-[3-azabicyclo[3.1.0]hexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl 2-phenylacetamide hydrochloride (Compound 66) with PDE-IV inhibitor roflumilast for relaxing carbachol-precontracted guinea pig isolated trachea.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 2 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1174148 HCAPLUS

DOCUMENT NUMBER: 145:471412

TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases

INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Kaur, Kirandeep; Aeron, Shelly; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Mehta, Anita; Chugh, Anita

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 79pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117754	A1	20061109	WO 2006-IB51368	20060501
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,			

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
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 MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
 SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
 VN, YU, ZA, ZM, ZW
 RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
 IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
 CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
 GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
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PRIORITY APPLN. INFO.:

IN 2005-DE1810

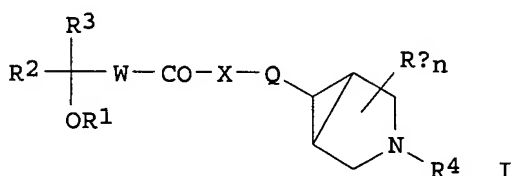
A 20050503

IN 2006-DE1681

A 20060328

OTHER SOURCE(S): MARPAT 145:471412

GI



AB The present invention generally relates to azabicyclo[3.1.0]hexane derivs. (shown as I; variables defined below; e.g. N-(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide (1)) as muscarinic receptor antagonists, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I: R1 is H or alkyl; R2 is straight or branched alkyl alkenyl, alkynyl, aryl, cycloalkyl, cycloalkylalkyl or heteroaryl (un)substituted with ≥ 1 alkyl, hydroxy or halogen. R3 is aryl or heteroaryl (un)substituted with ≥ 1 alkyl, hydroxy or halogen; W = $-(CH_2)_i$; Q = $-(CH_2)_j$; X is O or $-N(R_5)-$; R4 is H, straight or branched alkyl, straight or branched alkenyl, aralkyl or heteroarylalkyl wherein the said aralkyl or heteroarylalkyl is further substituted with alkyl, $-NH_2$ or alkoxy-carbonylamino; R5 is H or alkyl; R_w is H or Me; and n, i, j = 0-2. Results of radioligand binding assays for M2 and M3 muscarinic receptors are reported for many examples of I. Methods of preparation are claimed and preps. and/or characterization data for .apprx.120 examples of I are included. For example, 1 was prepared from hydroxy(phenyl)(thien-2-yl)acetic acid and 3-benzyl-3-azabicyclo[3.1.0]hexan-6-amine in DMF using hydroxybenzotriazole, N-methylmorpholine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.

REFERENCE COUNT:

10

THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:318950 HCAPLUS

DOCUMENT NUMBER: 144:369923

TITLE: 3-Azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of prophylaxis of of respiratory, urinary, or gastrointestinal diseases

10552455.trn

file

INVENTOR(S): Mehta, Anita; Salman, Mohammad; Sarma, Pakala Kumara
Savithru, Aeron, Shelley; Chugh, Anita; Gupta, Suman
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035282	A2	20060406	WO 2005-IB2838	20050926
WO 2006035282	A3	20060518		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

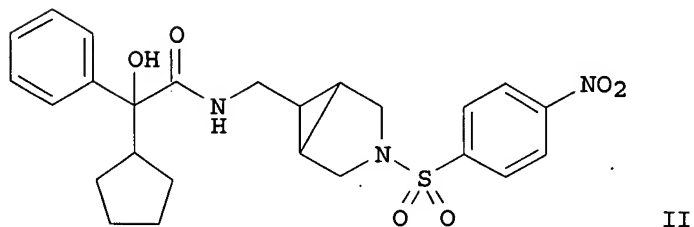
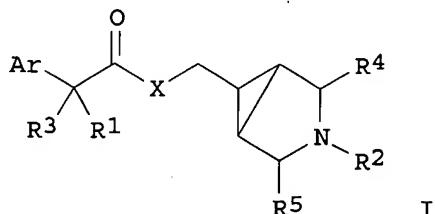
IN 2004-DE1849

A 20040927

OTHER SOURCE(S):

MARPAT 144:369923

GI



AB This invention generally relates to muscarinic receptor antagonists of formula I, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing

the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. Compds. of formula I wherein R1 is H, C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, cycloalkyl, (un)substituted amino, or OH and derivs.; R2 is carboxy, SO₂R6, CO₂R7, NH₂ and derivs., or CONH₂ and derivs., etc.; R3 is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, aralkyl, or heterocyclyl(alkyl); R4 and R5 are independently H, C1-6 alkyl, C2-7 alkenyl, or C2-7 alkynyl; X is O, NH and derivs., C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, aralkyl, or aryl; Ar is (hetero)aryl or heterocyclyl; and their stereoisomers, polymorphs, pharmaceutically acceptable salts, and solvates thereof and methods for preparation are claimed. Example compound II was prepared by sulfonylation of N-(1 α ,5 α ,6 α)-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-2-cyclopentyl-2-hydroxy-2-Ph acetamide with p-nitrophenylsulfonyl chloride. All the invention compds. were evaluated for their binding affinity towards muscarinic receptors. From the assay, it was determined that most of the invention compds. exhibited K_i values for M2 and M3 muscarinic receptors in the range of about 1000 nM to about 7.8 nM and 1000 nM to about 0.5 nM, resp.

L4 ANSWER 4 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2005:1075634 HCAPLUS
 DOCUMENT NUMBER: 143:373316
 TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms
 INVENTOR(S): Chugh, Anita; Tiwari, Atul
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 24 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1746998	A1	20070131	EP 2004-722336	20040322
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WO 2005092342	A1	20051006	WO 2004-IB866	20040323
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BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE,
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 TD, TG

IN 2006DN06061 A 20070427 IN 2006-DN6061 20061017
 PRIORITY APPLN. INFO.: WO 2004-IB842 W 20040322
 AB This invention relates to combination therapy for the treatment of benign
 prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS)
 associated with or without BPH. The combination therapy comprises of
 1 α adrenergic receptor (AR) subtype selective antagonist in
 combination with muscarinic receptor antagonist and optionally included
 Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or
 without BPH.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 5 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:182839 HCAPLUS

DOCUMENT NUMBER: 140:235609

TITLE: Fluoro- and sulfonylamino-containing 3,6-disubstituted
 azabicyclo[3.1.0]hexane derivatives as muscarinic
 receptor antagonists

INVENTOR(S): Mehta, Anita; Gupta, Jang Bahadur
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: Patent. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018422	A1	20040304	WO 2002-IB3433	20020823
W:	AE, AG, AL, AM, AT, AU, BE, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002326072	A1	20040311	AU 2002-326072	20020823
EP 1534675	A1	20050601	EP 2002-760461	20020823
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1688544	A	20051026	CN 2002-829770	20020823
JP 2006501236	T	20060112	JP 2004-530408	20020823
US 2006004083	A1	20060105	US 2005-525439	20050801
PRIORITY APPLN. INFO.:			WO 2002-IB3433	A 20020823
OTHER SOURCE(S):			CASREACT 140:235609; MARPAT 140:235609	
GI				

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to 3,6-disubstituted azabicyclo[3.1.0]hexane derivs. of formula I [wherein: Ar = (un)substituted (hetero)aryl; R1 = H, OH, CH2OH, NH2, alkoxy, carbamoyl, or halogen; R2 = C3-C7 cycloalkyl ring with 1-4 hydrogens substituted by fluorine atoms, or sulfonamide derivs.; R3 = C1-C15 (un)saturated (un)substituted hydrocarbon group; R4 and R5 are selected from H, Me, CO2H, C(O)NH2, NH2, CH2NH2; W = (CH2)0-1; X = O, S, N, bond; Y = CH(R')CO (R' = H or Me) or (CH2)0-4; Z = O, S, NR'' (R'' = H or alkyl); Q = (CH2)1-4, CHR''' (R''' = H, OH, alkyl, alkenyl, alkoxy), or CH2CHR'''' (R'''' = H, OH, alkyl, alkoxy)] useful as muscarinic receptor antagonists. Comps. I are useful for the treatment of various muscarinic receptor-mediated respiratory, urinary, and gastrointestinal system diseases; the affinity of test compds. for M2 and M3 muscarinic receptor subtypes was tested. For instance, compound II [example 2; pKi = 6.9/8.4 for the M2 and M3 receptor subtypes resp.] was prepared via amidation of phenylacetic acid derivative III by azabicyclo[3.1.0]hexane derivative IV (no yield data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 6 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:41201 HCAPLUS

DOCUMENT NUMBER: 140:111279

TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives useful as muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt V.; Gupta, Jang Bahadur

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT-Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

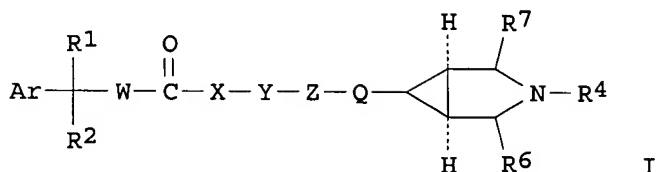
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004004629	A2	20040115	WO 2002-IB2663	20020708
WO 2004004629	A3	20040521		
W:	AE, AG, AL, AM, AT, AU , AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW			
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CA 2492121	A1	20040115	CA 2002-2492121	20020708
AU 2002345266	A1	20040123	AU 2002-345266	20020708
BR 2002015801	A	20050510	BR 2002-15801	20020708
EP 1546099	A2	20050629	EP 2002-743489	20020708
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1668585	A	20050914	CN 2002-829552	20020708
JP 2006502985	T	20060126	JP 2004-519029	20020708
NZ 537584	A	20060728	NZ 2002-537584	20020708
CA 2491998	A1	20040115	CA 2003-2491998	20030411
WO 2004005252	A1	20040115	WO 2003-IB1367	20030411

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
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 PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT,
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 AU 2003226579 A1 20040123 AU 2003-226579 20030411
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 EP 1551803 B1 20061011
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 CN 1681784 A 20051012 CN 2003-821130 20030411
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 EP 1626957 A1 20060222 EP 2004-700287 20040106
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 BR 2004009302 A 20060411 BR 2004-9302 20040106
 CN 1795176 A 20060628 CN 2004-80014471 20040106
 JP 2006522787 T 20061005 JP 2006-506251 20040106
 AU 2004228760 A1 20041021 AU 2004-228760 20040107
 CA 2521989 A1 20041021 CA 2004-2521989 20040107
 WO 2004089364 A1 20041021 WO 2004-IB12 20040107
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 EP 1620087 A1 20060201 EP 2004-700488 20040107
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 BR 2004009308 A 20060502 BR 2004-9308 20040107
 CN 1794985 A 20060628 CN 2004-80014502 20040107
 JP 2006522788 T 20061005 JP 2006-506252 20040107
 ZA 2005000952 A 20051012 ZA 2005-952 20050202

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US 2006287380	A1	20061221	US 2005-552455	20051007
US 2007021487	A1	20070125	US 2005-552503	20051007
US 2006111425	A1	20060525	US 2006-520572	20060119
US 2007004791	A1	20070104	US 2006-520573	20060207
PRIORITY APPLN. INFO.:			WO 2002-IB202663	A 20020708
			WO 2002-IB2663	W 20020708
			WO 2003-IB1367	W 20030411
			WO 2003-IB301367	A 20030411
			WO 2004-IB8	W 20040106
			WO 2004-IB12	W 20040107
OTHER SOURCE(S):			MARPAT 140:111279	
GI				



AB This invention generally relates to the derivs. of novel 3,6 disubstituted azabicyclo[3.1.0] hexanes. The title compds. [I; Ar = each (un)substituted aryl or heteroaryl having 1-2 hetero atoms selected from the group consisting of O, S and N atoms; R1 = H, HO, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. F, Cl, Br, iodo); R2 = alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl, each (un)substituted aryl or heteroaryl having 1 to 2 hetero atoms selected from a group consisting of O, S and N atoms; W = (CH2)_p (where p = 0, 1); X = O, S, N, no atom; Y = CHR5CO (wherein R5 = H, Me) or (CH2)_q (wherein q = 0-4); Z = O, S, NR10 (wherein R10 = H, C1-6 alkyl); Q = (CH2)_n (wherein n = 0-4), or CHR5 (wherein R5 = H, OH, C1-6 alkyl, alkenyl alkoxy) or CH2CHR9 (wherein R9 = H, OH, C1-4 alkyl, C1-C4 alkoxy); R6, R7 = CO2H, H, Me, CONH2, NH2, CH2NH2; R4 = (un)substituted C1-15 saturated or unsatd. aliphatic hydrocarbon groups], pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites thereof are prepared These compds., e.g. (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2,2-diphenylacetamide, (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclohexyl-2-phenylacetamide, (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl]-2-hydroxy-2-cyclopentyl-2-phenylacetamide, (1 α ,5 α ,6 α)-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl]methyl] 2-hydroxy-2,2-diphenylacetate, and are muscarinic receptor antagonists which are useful, inter-alia for the treatment or prophylaxis of various diseases or disorders of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. In particular, the diseases or disorders are urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity, and diabetes or gastrointestinal hyperkinesia.

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L9 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
ACCESSION NUMBER: 2007:464247 HCAPLUS

TITLE: Pharmaceutical compositions of muscarinic receptor antagonists
 INVENTOR(S): Ray, Abhijit; Dastidar, Sunanda G.; Shirumalla, Rajkumar; Malhotra, Shivani
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Ltd., India
 SOURCE: PCT Int. Appl., 100pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007045979	A1	20070426	WO 2006-IB2930	20061019
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: IN 2005-DE2794 A 20051019
 AB Pharmaceutical compns. are provided comprising one or more muscarinic receptor antagonists (MRA), and at least one addnl. active ingredients selected from one or more β 2-agonists, p38 MAP kinase inhibitors, PDE-IV inhibitors, corticosteroids, etc., or a mixture thereof and optionally one or more pharmaceutically acceptable carriers, excipients or diluents. In addition, methods of treating autoimmune, inflammatory or allergic diseases or disorders are provided. For example, a synergistic effect was observed with the combination of muscarinic antagonist (2R)-(1a,5a,6a)-N-[3-azabicyclo[3.1.0]hexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl 2-phenylacetamide hydrochloride (Compound 66) with PDE-IV inhibitor roflumilast for relaxing carbachol-precontracted guinea pig isolated trachea.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 2 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:1174148 HCAPLUS

DOCUMENT NUMBER: 145:471412

TITLE: Preparation of 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists for use against respiratory, urinary and gastrointestinal diseases

INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Kaur, Kirandeep; Aeron, Shelly; Sarma, Pakala Kumara Savithru; Dharmarajan, Sankaranarayanan; Mehta, Anita; Chugh, Anita

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 79pp.

CODEN: PIXXD2

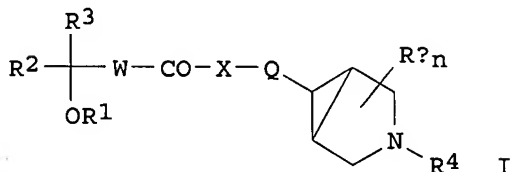
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006117754	A1	20061109	WO 2006-IB51368	20060501
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO.:			IN 2005-DE1810	A 20050503
			IN 2006-DE1681	A 20060328
OTHER SOURCE(S):		MARPAT 145:471412		
GI				



AB The present invention generally relates to azabicyclo[3.1.0]hexane derivs. (shown as I; variables defined below; e.g. N-(3-benzyl-3-azabicyclo[3.1.0]hex-6-yl)-2-hydroxy-2-phenyl-2-(2-thienyl)acetamide (1)) as muscarinic receptor antagonists, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. For I: R1 is H or alkyl; R2 is straight or branched alkyl alkenyl, alkynyl, aryl, cycloalkyl, cycloalkylalkyl or heteroaryl (un)substituted with ≥ 1 alkyl, hydroxy or halogen. R3 is aryl or heteroaryl (un)substituted with ≥ 1 alkyl, hydroxy or halogen; W = $-(CH_2)_i$; Q = $-(CH_2)_j$; X is O or $-N(R_5)-$; R4 is H, straight or branched alkyl, straight or branched alkenyl, aralkyl or heteroarylalkyl wherein the said aralkyl or heteroarylalkyl is further substituted with alkyl, $-NH_2$ or alkoxy-carbonylamino; R5 is H or alkyl; R_w is H or Me; and n, i, j = 0-2. Results of radioligand binding assays for M2 and M3 muscarinic receptors are reported for many examples of I. Methods of preparation are claimed and preps. and/or characterization data for approx. 120 examples of I are included. For example, 1 was prepared from hydroxy(phenyl)(thien-2-yl)acetic acid and 3-benzyl-3-azabicyclo[3.1.0]hexan-6-amine in DMF using hydroxybenzotriazole, N-methylmorpholine and 1-ethyl-3-(3-dimethylaminopropyl)carbodiimide.

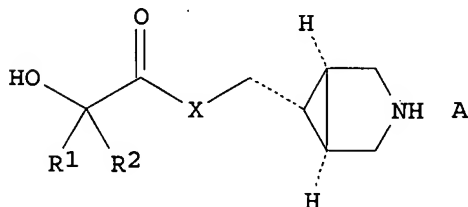
REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 3 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:605804 HCAPLUS
 DOCUMENT NUMBER: 145:83209
 TITLE: Preparation of azabicyclo[3.1.0]hexanes-acid addition salts as muscarinic receptor antagonists
 INVENTOR(S): Salman, Mohammad; Kumar, Naresh; Yadav, Gyan Chand; Sarma, Pakala Kumara Savithru
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 33 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006064304	A1	20060622	WO 2004-IB4142	20041215
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			

PRIORITY APPLN. INFO.: WO 2004-IB4142 20041215
 OTHER SOURCE(S): MARPAT 145:83209
 GI



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AB Title compds. I [R1 = optionally substituted phenyl; R2 = optionally substituted alkyl with halo, optionally substituted Ph with halo, optionally substituted cycloalkyl with halo; X = -NH-, -O-, NMe; A = organic acid selected from acetic acid, succinic acid, maleic acid, etc., inorg. acid selected from hydrochloric acid, hydrobromic acid, phosphoric acid, etc. with the proviso that A can not be tartaric acid when R1 and R2 are Ph and X is -NMe] and pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, prodrugs, polymorphs and metabolites thereof were prepared For example, a mixture of (2R)-N-[(1 α ,5 α ,6 α)-3-azabicyclo[3.1.0]hex-6-ylmethyl]-2-(3,3-difluorocyclopentyl)-2-hydroxy-2-phenylacetamide (II) and L-tartaric acid was stirred at room temperature for 4 h to give L-tartaric acid salt of compound

II. In muscarinic receptor binding assays, the Ki values of 34 examples were in the range of from about 0.01 to about 2 nM for rat M3 receptors, from about 0.01 to about about 25 nM for rat M2 receptors. Compds. I are

claimed useful for the treatment of urinary incontinence, bronchial asthma, etc.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 4 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2006:318950 HCAPLUS

DOCUMENT NUMBER: 144:369923

TITLE: 3-Azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists and their preparation, pharmaceutical compositions, and use for treatment of prophylaxis of of respiratory, urinary, or gastrointestinal diseases

INVENTOR(S): Mehta, Anita; Salman, Mohammad; Sarma, Pakala Kumara Savithru; Aeron, Shelley; Chugh, Anita; Gupta, Suman

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 54 pp..

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006035282	A2	20060406	WO 2005-IB2838	20050926
WO 2006035282	A3	20060518		

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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

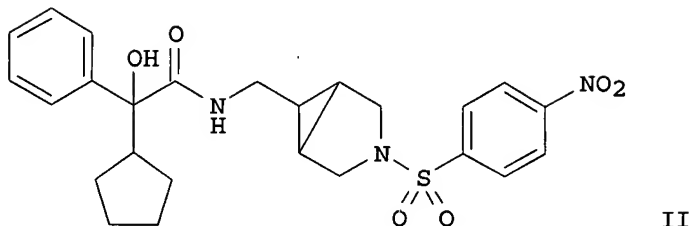
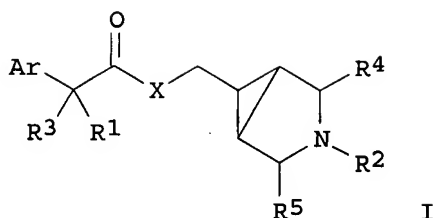
PRIORITY APPLN. INFO.:

IN 2004-DE1849

A 20040927

OTHER SOURCE(S): MARPAT 144:369923

GI



AB This invention generally relates to muscarinic receptor antagonists of formula I, which are useful, among other uses, for the treatment of various diseases of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. The invention also relates to the process for the preparation of disclosed compds., pharmaceutical compns. containing the disclosed compds., and the methods for treating diseases mediated through muscarinic receptors. Compds. of formula I wherein R1 is H, C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, cycloalkyl, (un)substituted amino, or OH and derivs.; R2 is carboxy, SO₂R₆, CO₂R₇, NH₂ and derivs., or CONH₂ and derivs., etc.; R3 is alkyl, alkenyl, alkynyl, cycloalkyl, (hetero)aryl, aralkyl, or heterocyclyl(alkyl); R4 and R5 are independently H, C1-6 alkyl, C2-7 alkenyl, or C2-7 alkynyl; X is O, NH and derivs., C1-6 alkyl, C2-7 alkenyl, C2-7 alkynyl, aralkyl, or aryl; Ar is (hetero)aryl or heterocyclyl; and their stereoisomers, polymorphs, pharmaceutically acceptable salts, and solvates thereof and methods for preparation are claimed. Example compound II was prepared by sulfonylation of N-(1 α ,5 α ,6 α)-(3-azabicyclo[3.1.0]hex-6-ylmethyl)-2-cyclopentyl-2-hydroxy-2-Ph acetamide with p-nitrophenylsulfonyl chloride. All the invention compds. were evaluated for their binding affinity towards muscarinic receptors. From the assay, it was determined that most of the invention compds. exhibited Ki values for M2 and M3 muscarinic receptors in the range of about 1000 nM to about 7.8 nM and 1000 nM to about 0.5 nM, resp.

L9 ANSWER 5 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN
 ACCESSION NUMBER: 2006:30422 HCAPLUS
 DOCUMENT NUMBER: 144:114451
 TITLE: Solid oral dosage forms of azabicyclo derivatives
 INVENTOR(S): Rao, Korlapati Venkateswara; Karatgi, Pradeep Jai Rao;
 Murthy, Ayanampudi Sri Rama
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 16 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006003587	A2	20060112	WO 2005-IB52104	20050624
WO 2006003587	A3	20060914		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
IN 2004DE01234	A	20060721	IN 2004-DE1234	20040701
IN 2007DN00722	A	20070427	IN 2007-DN722	20070125
PRIORITY APPLN. INFO.:				
			IN 2004-DE1234	A 20040701
			WO 2005-IB52104	W 20050624

AB The present invention relates to solid dosage forms for oral administration of an azabicyclo derivative or its pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs and metabolites; and processes for the preparation of such solid dosage forms. The solid dosage forms can be characterized as having excellent content uniformity. A capsule contained (2R)-(1-alpha, 5-alpha, 6-alpha)-N-[3-azabicyclohexyl-6-(aminomethyl)-yl]-2-hydroxy-2-cyclopentyl-2-Ph acetamide hydrochloride 0.10, lactose monohydrate 54.40, microcryst. cellulose 30.00, croscarmellose sodium 3.00, pre-gelatinized starch 10.00, purified water q.s., magnesium stearate 1.00, talc 1.00, and colloidal silicon dioxide 0.50 mg.

L9 ANSWER 6 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1075634 HCAPLUS

DOCUMENT NUMBER: 143:373316

TITLE: Combination therapy using adrenergic receptor antagonist in combination with muscarinic receptor antagonists and testosterone 5-reductase inhibitors for lower urinary tract symptoms

INVENTOR(S): Chugh, Anita; Tiwari, Atul

PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 24 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005092341	A1	20051006	WO 2004-IB842	20040322
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
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 TD, TG

EP 1746998 A1 20070131 EP 2004-722336 20040322
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WO 2005092342 A1 20051006 WO 2004-IB866 20040323

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 TD, TG

IN 2006DN06061 A 20070427 IN 2006-DN6061 20061017

PRIORITY APPLN. INFO.: WO 2004-IB842 W 20040322

AB This invention relates to combination therapy for the treatment of benign prostatic hyperplasia (BPH) and lower urinary tract symptoms (LUTS) associated with or without BPH. The combination therapy comprises of 1 α adrenergic receptor (AR) subtype selective antagonist in combination with muscarinic receptor antagonist and optionally included Testosterone 5-reductase inhibitor for relief of LUTS in a subject with or without BPH.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 7 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2005:1026933 HCAPLUS

DOCUMENT NUMBER: 143:326636

TITLE: Preparation of peptidyl sulfur compounds as inhibitors of hepatitis C virus NS3 serine protease

INVENTOR(S): Bennett, Frank; Lovey, Raymond G.; Huang, Yuhua;
 Hendrata, Siska; Saksena, Anil K.; Bogen, Stephane L.;
 Liu, Yi-Tsung; Njoroge, F. George; Venkatraman,
 Srikanth; Chen, Kevin X.; Sannigrahi, Mousumi;
 Arasappan, Ashok; Girijavallabhan, Viyyoor M.;
 Velazquez, Francisco

PATENT ASSIGNEE(S): Schering Corporation, USA

SOURCE: PCT Int. Appl., 754 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005087731	A1	20050922	WO 2005-US5795	20050224
WO 2005087731	A8	20060622		
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 RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
 MR, NE, SN, TD, TG

AU 2005222060 A1 20050922 AU 2005-222060 20050224
 CA 2557495 A1 20050922 CA 2005-2557495 20050224
 EP 1730110 A1 20061213 EP 2005-723607 20050224

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
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 HR, LV, MK, YU

US 2007042968 A1 20070222 US 2005-64673 20050224
 NO 2006004358 A 20061124 NO 2006-4358 20060926

PRIORITY APPLN. INFO.: US 2004-548670P P 20040227
 WO 2005-US5795 W 20050224

OTHER SOURCE(S): MARPAT 143:326636
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The invention discloses compds. I [R1 is H, OR8, NR9R10 or CHR9R10, where R8, R9 and R10 are independently H, alkyl, aryl, heteroaryl, cycloalkyl, etc; A, M are independently R, OR, NHR, NRR', SR, SO2R or halo; or A and M form a ring; E is CH or CR; L is CH, CR, CH2CR or CRCH2; R, R', R2, R3 are independently H, alkyl, cycloalkyl, aryl, heteroaryl, etc. or NRR' is heterocyclyl; Y is (substituted) 2-mercaptoethylamino, 3-mercaptopropylamino, 2-mercaptoethoxy, 3-mercaptopropoxy or S-oxides], including stereoisomers, pharmaceutically-acceptable salts or esters, etc., which have hepatitis C virus (HCV) protease inhibitory activity and includes methods for their synthesis and use in the treatment of disorders associated with the HCV protease. Synthetic examples and tables showing products of the invention along with Ki values are given. Thus, peptide II, prepared by a multistep procedure involving peptide coupling in solution, showed Ki < 75 nM for inhibition of HCV protease.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 8 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:182839 HCAPLUS

DOCUMENT NUMBER: 140:235609

TITLE: Fluoro- and sulfonylamino-containing 3,6-disubstituted azabicyclo[3.1.0]hexane derivatives as muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita, Gupta, Jang Bahadur
 PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
 SOURCE: PCT Int. Appl., 68 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004018422	A1	20040304	WO 2002-IB3433	20020823
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

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 AU 2002326072 A1 20040311 AU 2002-326072 20020823
 EP 1534675 A1 20050601 EP 2002-760461 20020823
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
 CN 1688544 A 20051026 CN 2002-829770 20020823
 JP 2006501236 T 20060112 JP 2004-530408 20020823
 US 2006004083 A1 20060105 US 2005-525439 20050801
 PRIORITY APPLN. INFO.: WO 2002-IB3433 A 20020823
 OTHER SOURCE(S): CASREACT 140:235609; MARPAT 140:235609
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention relates to 3,6-disubstituted azabicyclo[3.1.0]hexane
 derivs. of formula I [wherein: Ar = (un)substituted (hetero)aryl; R1 = H,
 OH, CH2OH, NH2, alkoxy, carbamoyl, or halogen; R2 = C3-C7 cycloalkyl ring
 with 1-4 hydrogens substituted by fluorine atoms, or sulfonamide derivs.;
 R3 = C1-C15 (un)saturated (un)substituted hydrocarbon group; R4 and R5 are
 selected from H, Me, CO2H, C(O)NH2, NH2, CH2NH2; W = (CH2)0-1; X = O, S,
 N, bond; Y = CH(R')CO (R' = H or Me) or (CH2)0-4; Z = O, S, NR'' (R'' = H
 or alkyl); Q = (CH2)1-4, CHR''' (R''' = H, OH, alkyl, alkenyl, alkoxy), or
 CH2CHR'''' (R'''' = H, OH, alkyl, alkoxy)] useful as muscarinic receptor
 antagonists. Comps. I are useful for the treatment of various muscarinic
 receptor-mediated respiratory, urinary, and gastrointestinal system
 diseases; the affinity of test compds. for M2 and M3 muscarinic receptor
 subtypes was tested. For instance, compound II [example 2; pKi = 6.9/8.4
 for the M2 and M3 receptor subtypes resp.] was prepared via amidation of
 phenylacetic acid derivative III by azabicyclo[3.1.0]hexane derivative IV (no
 yield data).

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L9 ANSWER 9 OF 9 HCAPLUS COPYRIGHT 2007 ACS on STN

ACCESSION NUMBER: 2004:41201 HCAPLUS

DOCUMENT NUMBER: 140:111279

TITLE: Preparation of 3,6-disubstituted
 azabicyclo[3.1.0]hexane derivatives useful as
 muscarinic receptor antagonists

INVENTOR(S): Mehta, Anita; Silamkoti, Arundutt V.; Gupta, Jang
 Bahadur

PATENT ASSIGNEE(S): Rambaxy Laboratories Limited, India

SOURCE: PCT Int. Appl., 72 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

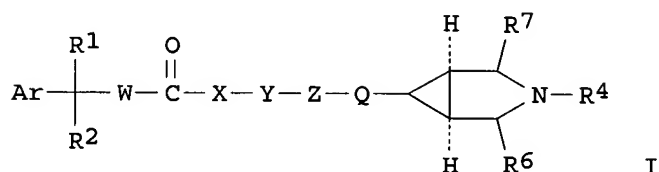
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 2004004629	A2	20040115	WO 2002-IB2663	20020708
WO 2004004629	A3	20040521		
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CA 2492121	A1	20040115	CA 2002-2492121	20020708
AU 2002345266	A1	20040123	AU 2002-345266	20020708
BR 2002015801	A	20050510	BR 2002-15801	20020708
EP 1546099	A2	20050629	EP 2002-743489	20020708
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CN 1668585	A	20050914	CN 2002-829552	20020708
JP 2006502985	T	20060126	JP 2004-519029	20020708
NZ 537584	A	20060728	NZ 2002-537584	20020708
CA 2491998	A1	20040115	CA 2003-2491998	20030411
WO 2004005252	A1	20040115	WO 2003-IB1367	20030411
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AU 2003226579	A1	20040123	AU 2003-226579	20030411
BR 2003012572	A	20050510	BR 2003-12572	20030411
EP 1551803	A1	20050713	EP 2003-762827	20030411
EP 1551803	B1	20061011		
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CN 1681784	A	20051012	CN 2003-821130	20030411
JP 2005535655	T	20051124	JP 2004-519035	20030411
NZ 537585	A	20060728	NZ 2003-537585	20030411
AT 342253	T	20061115	AT 2003-762827	20030411
AU 2004228452	A2	20041021	AU 2004-228452	20040106
AU 2004228452	A1	20041021		
CA 2522071	A1	20041021	CA 2004-2522071	20040106
WO 2004089900	A1	20041021	WO 2004-IB8	20040106
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EP 1626957	A1	20060222	EP 2004-700287	20040106
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BR 2004009302	A	20060411	BR 2004-9302 20040106
CN 1795176	A	20060628	CN 2004-80014471 20040106
JP 2006522787	T	20061005	JP 2006-506251 20040106
AU 2004228760	A1	20041021	AU 2004-228760 20040107
CA 2521989	A1	20041021	CA 2004-2521989 20040107
WO 2004089364	A1	20041021	WO 2004-IB12 20040107
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EP 1620087	A1	20060201	EP 2004-700488 20040107
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2004009308	A	20060502	BR 2004-9308 20040107
CN 1794985	A	20060628	CN 2004-80014502 20040107
JP 2006522788	T	20061005	JP 2006-506252 20040107
ZA 2005000952	A	20051012	ZA 2005-952 20050202
US 2006287380	A1	20061221	US 2005-552455 20051007
US 2007021487	A1	20070125	US 2005-552503 20051007
US 2006111425	A1	20060525	US 2006-520572 20060119
US 2007004791	A1	20070104	US 2006-520573 20060207
PRIORITY APPLN. INFO.:		WO 2002-IB202663	A 20020708
		WO 2002-IB2663	W 20020708
		WO 2003-IB1367	W 20030411
		WO 2003-IB301367	A 20030411
		WO 2004-IB8	W 20040106
		WO 2004-IB12	W 20040107

OTHER SOURCE(S): MARPAT 140:111279
GI



AB This invention generally relates to the derivs. of novel 3,6 disubstituted azabicyclo[3.1.0] hexanes. The title compds. [I; Ar = each (un)substituted aryl or heteroaryl having 1-2 hetero atoms selected from the group consisting of O, S and N atoms; R1 = H, HO, hydroxymethyl, amino, alkoxy, carbamoyl or halogen (e.g. F, Cl, Br, iodo); R2 = alkyl, C3-7 cycloalkyl, C3-7 cycloalkenyl, each (un)substituted aryl or heteroaryl having 1 to 2 hetero atoms selected from a group consisting of O, S and N atoms; W = (CH₂)_p (where p = 0, 1); X = O, S, N, no atom; Y = CHR₅CO (wherein R₅ = H, Me) or (CH₂)_q (wherein q = 0-4); Z = O, S, NR₁₀ (wherein R₁₀ = H, C1-6 alkyl); Q = (CH₂)_n (wherein n = 0-4), or CHR₅ (wherein R₅ = H, OH, C1-6 alkyl, alkenyl alkoxy) or CH₂CHR₉ (wherein R₉ = H, OH, C1-4 alkyl, C1-C4 alkoxy); R₆, R₇ = CO₂H, H, Me, CONH₂, NH₂, CH₂NH₂; R₄ = (un)substituted C1-15 saturated or unsatd. aliphatic hydrocarbon

groups], pharmaceutically acceptable salts, pharmaceutically acceptable solvates, esters, enantiomers, diastereomers, N-oxides, polymorphs, prodrugs, or metabolites thereof are prepared These compds., e.g. (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl)methyl]-2-hydroxy-2,2-diphenylacetamide, (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl)methyl]-2-hydroxy-2-cyclohexyl-2-phenylacetamide, (1 α ,5 α ,6 α)-N-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl)methyl]-2-hydroxy-2-cyclopentyl-2-phenylacetamide, (1 α ,5 α ,6 α)-[[3-benzyl-3-azabicyclo[3.1.0]hexyl-6-yl)methyl] 2-hydroxy-2,2-diphenylacetate, and are muscarinic receptor antagonists which are useful, inter-alia for the treatment or prophylaxis of various diseases or disorders of the respiratory, urinary and gastrointestinal systems mediated through muscarinic receptors. In particular, the diseases or disorders are urinary incontinence, lower urinary tract symptoms (LUTS), bronchial asthma, chronic obstructive pulmonary disorders (COPD), pulmonary fibrosis, irritable bowel syndrome, obesity, and diabetes or gastrointestinal hyperkinesis.

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COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
65.99	420.80

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
-13.26	-13.26

CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 10:51:05 ON 18 MAY 2007